Connecting via Winsock to STN

```
Welcome to STN International! Enter x:x
```

LOGINID:ssspta1626gms

```
PASSWORD:
```

TERMINAL (ENTER 1, 2, 3, OR ?):2

```
Welcome to STN International
                 Web Page URLs for STN Seminar Schedule - N. America
NEWS
NEWS
                 "Ask CAS" for self-help around the clock
      2
                 Source of Registration (SR) information in REGISTRY updated
NEWS
         JAN 27
                 and searchable
         JAN 27
                 A new search aid, the Company Name Thesaurus, available in
NEWS
                 CA/CAplus
                 German (DE) application and patent publication number format
NEWS
     5
         FEB 05
                 changes
        MAR 03
                MEDLINE and LMEDLINE reloaded
NEWS
     6
NEWS
        MAR 03
                MEDLINE file segment of TOXCENTER reloaded
     7
     8 MAR 03
                FRANCEPAT now available on STN
NEWS
NEWS
    9 MAR 29
                Pharmaceutical Substances (PS) now available on STN
NEWS 10 MAR 29
                 WPIFV now available on STN
NEWS 11 MAR 29
                New monthly current-awareness alert (SDI) frequency in RAPRA
NEWS 12 APR 26
                 PROMT: New display field available
NEWS 13 APR 26
                IFIPAT/IFIUDB/IFICDB: New super search and display field
                 available
NEWS 14
        APR 26 LITALERT now available on STN
       APR 27 NLDB: New search and display fields available
NEWS 15
NEWS 16 May 10 PROUSDDR now available on STN
        May 19 PROUSDDR: One FREE connect hour, per account, in both May
NEWS 17
                 and June 2004
NEWS 18
        May 12
                 EXTEND option available in structure searching
                 Polymer links for the POLYLINK command completed in REGISTRY
NEWS 19
        May 12
NEWS 20
        May 17
                 FRFULL now available on STN
NEWS 21
                 STN User Update to be held June 7 and June 8 at the SLA 2004
        May 27
                 Conference
NEWS 22
                 New UPM (Update Code Maximum) field for more efficient patent
         May 27
                 SDIs in CAplus
NEWS 23
         May 27
                 CAplus super roles and document types searchable in REGISTRY
NEWS 24
        May 27
                 Explore APOLLIT with free connect time in June 2004
NEWS EXPRESS
              MARCH 31 CURRENT WINDOWS VERSION IS V7.00A, CURRENT
              MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
              AND CURRENT DISCOVER FILE IS DATED 26 APRIL 2004
NEWS HOURS
              STN Operating Hours Plus Help Desk Availability
NEWS INTER
              General Internet Information
NEWS LOGIN
              Welcome Banner and News Items
NEWS PHONE
              Direct Dial and Telecommunication Network Access to STN
NEWS WWW
              CAS World Wide Web Site (general information)
```

Enter NEWS followed by the item number or name to see news on that specific topic.

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FILE 'HOME' ENTERED AT 14:50:54 ON 01 JUN 2004

=>
Uploading
THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE
Do you want to switch to the Registry File?
Choice (Y/n):
Switching to the Registry File...

Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FILE 'REGISTRY' ENTERED AT 14:51:06 ON 01 JUN 2004 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2004 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 31 MAY 2004 HIGHEST RN 688001-12-9 DICTIONARY FILE UPDATES: 31 MAY 2004 HIGHEST RN 688001-12-9

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

=> Uploading C:\Program Files\Stnexp\Queries\10670668.str

chain nodes : 12 13 14 21 22 ring nodes : 1 2 3 4 5 6 7 8 9 10 11 15 16 17 18 19 20 23 24 25 26 27 28 chain bonds : 1-6 3-27 5-12 9-13 13-14 13-22 14-17 14-21 ring bonds : 1-2 1-5 2-3 3-4 4-5 6-7 6-11 7-8 8-9 9-10 10-11 15-16 15-20 16-17 17-18 18-19 19-20 23-24 23-28 24-25 25-26 26-27 27-28 exact/norm bonds : 1-2 1-5 1-6 2-3 9-13 13-14 14-21 exact bonds : 3-4 3-27 4-5 5-12 13-22 14-17 normalized bonds : 6-7 6-11 7-8 8-9 9-10 10-11 15-16 15-20 16-17 17-18 18-19 19-20 23-24 23-28 24-25 25-26 26-27 27-28 isolated ring systems : containing 1 : 6 : 15 : 23 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:CLASS 13:CLASS 14:CLASS 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:CLASS 22:CLASS 23:Atom 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom

L1 STRUCTURE UPLOADED

=> d l1 L1 HAS NO ANSWERS L1 STR

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 14:51:23 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 5 TO ITERATE

100.0% PROCESSED

5 ITERATIONS

1 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH

PROJECTED ITERATIONS:

COMPLETE 5 TO

234

PROJECTED ANSWERS:

1 TO

80

L2

1 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 14:51:30 FILE 'REGISTRY' 49 TO ITERATE

FULL SCREEN SEARCH COMPLETED -

11 ANSWERS

100.0% PROCESSED SEARCH TIME: 00.00.01

49 ITERATIONS

11 SEA SSS FUL L1

=> FIL CAPLUS

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

FULL ESTIMATED COST

ENTRY 155.42

SESSION

155.63

FILE 'CAPLUS' ENTERED AT 14:51:35 ON 01 JUN 2004 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

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FILE COVERS 1907 - 1 Jun 2004 VOL 140 ISS 23 FILE LAST UPDATED: 31 May 2004 (20040531/ED)

This file contains CAS Registry Numbers for easy and accurate Marcalton substance identification.

=> s 13

L4

2 L3

=> d l4 ibib abs hitstr tot

ANSWER 1 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2003:22869 CAPLUS

DOCUMENT NUMBER:

TITLE:

138:89806

Preparation of applpyrazoles as soluble epoxide

hydrolase inhibators for treatment of cardiovascular

disease

INVENTOR(S):

Ingraham, Richard H.; Proudfoot, John R.

PATENT ASSIGNEE(S): Boehringer Ingelheim Pharmaceuticals, Inc., USA

PCT Int. Appl., 44 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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PATENT NO.
                     KIND DATE
                                           APPLICATION NO.
                            20030109
     WO 2003002555
                      A1
                                          WO 2002-US18752 20020614
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
            CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
            GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
            LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
            PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
            UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
             CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
            BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     US 2003022929
                      A1
                           20030130
                                          US 2002-172457
                                                            20020614
                          20040414
                                          EP 2002-739870
                                                            20020614
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
     US 2004092567
                      A1
                           20040513
                                           US 2003-670668
                                                            20030925
PRIORITY APPLN. INFO.:
                                        US 2001-302066P P 20010629
                                        US 2002-172457
                                                        A1 20020614
                                        WO 2002-US18752 W 20020614
OTHER SOURCE(S):
                        MARPAT 138:89806
```

GI

$$R^2$$
 N
 LR^4
 R^8
 I

AB A method of treating cardiovascular disease comprises administration of title compds. [I; R1, R3 = CF3, halo, cyano, alkyl, alkenyl, alkynyl, (substituted) cycloalkyl, heterocyclyl, etc.; R2 = H, halo, Me; L = NHCO, NHCS, NH, NHCH2, NHCOCO, etc.; R4 = (substituted) alkyl, alkoxy, alkylthio, alkylamino, alkoxyalkyl, alkylthioalkyl, carbocyclyl, heterocyclyl, etc.; R8 = H, NH2] (no data). Thus, 2-chloronicotinic acid in MeCN was treated with EDC and then with 1-(4-aminophenyl)-3-(3-pyridyl)-5-trifluoromethylpyrazole under ice cooling followed by stirring for 1 h to give I (R1 = 3-pyridyl; R2, R8 = H; R3 = CF3; L = NHCO; R4 = 2-chloropyridin-3-yl).

TT 251656-41-4P 251656-54-9P 251656-61-8P 251656-70-9P 251656-71-0P 483342-21-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of arylpyrazoles as soluble epoxide hydrolase inhibitors for treatment of cardiovascular disease)

RN 251656-41-4 CAPLUS

CN

3-Pyridinecarboxamide, 2-chloro-N-[4-[3-(3-pyridinyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 251656-54-9 CAPLUS

CN 3-Pyridinecarboxamide, 2-(methylthio)-N-[4-[3-(3-pyridinyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)

Page 7 15:08 <golam shameem>

06/01/2004

RN 251656-61-8 CAPLUS

CN 3-Pyridinecarboxamide, 2-(methylsulfinyl)-N-[4-[3-(3-pyridinyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 251656-70-9 CAPLUS

CN 3-Pyridinecarboxamide, 2-[(5-hydroxypentyl)amino]-N-[4-[3-(3-pyridinyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 251656-71-0 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[2-(4-morpholinyl)ethyl]amino]-N-[4-[3-(3-pyridinyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 483342-21-8 CAPLUS

CN 3-Pyridinecarboxamide, 2-[(phenylmethyl)amino]-N-[4-[3-(3-pyridinyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 2 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1999:784082 CAPLUS

DOCUMENT NUMBER:

132:22963

TITLE:

Preparation of N-(pyrazolylphenyl)alkanamides and

analogs as IL-2 production inhibitors

INVENTOR(S):

Betageri, Rajashekhar; Cywin, Charles L.; Wargrave, Karl; Hoermmann, Mary Ann; Kirrane, Thomas M.; Parks, Thomas M.; Patel, Usha R.; Proudfoot, John R.; Sharma, Rajiv; Sun, Sanxing; Wang, Xiao-Jun

PATENT ASSIGNEE(S):

Boehringer Ingelheim Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 130 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent .

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO.					KIND DATE					APPLICATION NO. DATE							
	WO 9962			Α			1209							1999				
	W:	ΑL,	AM,	ΑT,	ΑU,	`AΖ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,	DE,	
		DK,	EE,	ES,	FI,	GB,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IS,	JP,	KE,	KG,	
														MN,				
		ΝZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TR,	TT,	UA,	
		UG,													• •	•	•	
	CA 2332	2957		A	A	1999	1209		C	A 19	99-23	3329	57 [°]	1999	0603			
	AU 9942	2299		A.	1	1999:	1220		AU 1999-42299					19990603				
	JP_2002	25-1.69	<u>9</u>	T	2	2002	0611		J	P 20	00-5	5209	7	1999	0603			
	US 6506	5747		B	1 :	2003	0114		U	S 19	99-3	24933	3	1999	0603			
PRIO	RITYAPI	LN.	ÍNFO	. :				Ţ	US 1	998-	8815	4 P	P	1998	0605			
								7	WO 1	999-1	US12:	295	W	1999	0603			
OTHE	R SOURCE	E(S):			MAR	PAT :	132:2	2296	3									

GI

AB Title compds. [I; R = R4Z1Z; R1,R3 = halo, CF3, alkyl, alkoxy, etc.; R2 = H, halo, Me; R4 = (cyclo)alkyl, alkoxy, alkylamino, etc.; Z = 1,4-phenylene; Z1 = CONH, CO2NH, NH, etc.] were prepared Thus, I [R = 4-(R5HN)C6H4, R1 = R3 = CF3, R2 = H](II; R5 = H) was amidated by cyclohexanecarboxylic acid to give II (R5 = cyclohexylcarbonyl). Data for biol. activity of I were given.

IT 251656-33-4P 251656-39-0P 251656-41-4P 251656-54-9P 251656-61-8P 251656-65-2P 251656-67-4P 251656-68-5P 251656-70-9P 251656-71-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 1-(4-aminophenyl)pyrazoles and their use as anti-inflammatory agents)

RN 251656-33-4 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3-(3-pyridinyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 251656-39-0 CAPLUS

CN 3-Pyridinecarboxamide, 5-bromo-N-[4-[3-(3-pyridinyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 251656-41-4 CAPLUS

CN 3-Pyridinecarboxamide, 2-chloro-N-[4-[3-(3-pyridinyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 251656-54-9 CAPLUS

CN 3-Pyridinecarboxamide, 2-(methylthio)-N-[4-[3-(3-pyridinyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 251656-61-8 CAPLUS

CN 3-Pyridinecarboxamide, 2-(methylsulfinyl)-N-[4-[3-(3-pyridinyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 251656-65-2 CAPLUS

CN 3-Pyridinecarboxamide, 2-methoxy-N-[4-[3-(3-pyridinyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 251656-67-4 CAPLUS

CN 3-Pyridinecarboxamide, 2-[(4-pyridinylmethyl)amino]-N-[4-[3-(3-pyridinyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 251656-68-5 CAPLUS

CN 3-Pyridinecarboxamide, 2-(dimethylamino)-N-[4-[3-(3-pyridinyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 251656-70-9 CAPLUS

CN 3-Pyridinecarboxamide, 2-[(5-hydroxypentyl)amino]-N-[4-[3-(3-pyridinyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 251656-71-0 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[2-(4-morpholinyl)ethyl]amino]-N-[4-[3-(3-pyridinyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> FIL REGISTRY	•	
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	17.40	173.03
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-1.39	-1.39

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STRUCTURE FILE UPDATES: 31 MAY 2004 HIGHEST RN 688001-12-9
DICTIONARY FILE UPDATES: 31 MAY 2004 HIGHEST RN 688001-12-9

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

Uploading C:\Program Files\Stnexp\Queries\10670668a.str

chain nodes :

12 13 14 21 22

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 15 16 17 18 19 20

chain bonds :

1-6 5-12 9-13 13-14 13-22 14-17 14-21

ring bonds :

 $1-2 \quad 1-5 \quad 2-3 \quad 3-4 \quad 4-5 \quad 6-7 \quad 6-11 \quad 7-8 \quad 8-9 \quad 9-10 \quad 10-11 \quad 15-16 \quad 15-20 \quad 16-17$

17-18 18-19 19-20

exact/norm bonds :

1-2 1-5 1-6 2-3 9-13 13-14 14-21

exact bonds:

3-4 4-5 5-12 13-22 14-17

normalized bonds :

6-7 6-11 7-8 8-9 9-10 10-11 15-16 15-20 16-17 17-18 18-19 19-20

isolated ring systems :

containing 1 : 6 : 15 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:CLASS 13:CLASS 14:CLASS 15:Atom 16:Atom 17:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:CLASS 22:CLASS

L5 STRUCTURE UPLOADED

=>

Uploading C:\Program Files\Stnexp\Queries\10670668a.str

chain nodes :

12 13 14 21 22

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 15 16 17 18 19 20

chain bonds :

1-6 5-12 9-13 13-14 13-22 14-17 14-21

ring bonds :

1-2 1-5 2-3 3-4 4-5 6-7 6-11 7-8 8-9 9-10 10-11 15-16 15-20 16-17

17-18 18-19 19-20

exact/norm bonds :

Page 14 15:08 <golam shameem>

06/01/2004

1-2 1-5 1-6 2-3 9-13 13-14 14-21

exact bonds :

3-4 4-5 5-12 13-22 14-17

normalized bonds :

6-7 6-11 7-8 8-9 9-10 10-11 15-16 15-20 16-17 17-18 18-19 19-20

isolated ring systems : containing 1 : 6 : 15 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:CLASS 13:CLASS 14:CLASS 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom

20:Atom 21:CLASS 22:CLASS

L6 STRUCTURE UPLOADED

=> d 16 L6 HAS NO ANSWERS 1.6

Structure attributes must be viewed using STN Express query preparation.

=> s 16

SAMPLE SEARCH INITIATED 15:02:58 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 47 TO ITERATE

100.0% PROCESSED 47 ITERATIONS 8 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 529 TO 1351

PROJECTED ANSWERS: 8 TO 329

L7 8 SEA SSS SAM L6

=> s 16 sss full

FULL SEARCH INITIATED 15:03:06 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 807 TO ITERATE

100.0% PROCESSED 807 ITERATIONS

76 ANSWERS

SEARCH TIME: 00.00.01

Г8 76 SEA SSS FUL L6

10670668

=> FIL CAPLUS

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 155.42 328.45

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE
ENTRY
SESSION
CA SUBSCRIBER PRICE

0.00
-1.39

FILE 'CAPLUS' ENTERED AT 15:03:10 ON 01 JUN 2004
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FILE COVERS 1907 - 1 Jun 2004 VOL 140 ISS 23 FILE LAST UPDATED: 31 May 2004 (20040531/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 16

REG1stRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress... Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

SAMPLE SEARCH INITIATED 15:03:14 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 47 TO ITERATE

100.0% PROCESSED 47 ITERATIONS SEARCH TIME: 00.00.01

8 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS:

529 TO 1351

PROJECTED ANSWERS:

8 TO 329

PRODECTED ANSWERS:

- --

L9 8 SEA SSS SAM L6

L10 4 L9

=> d his

10670668

(FILE 'HOME' ENTERED AT 14:50:54 ON 01 JUN 2004)

FILE 'REGISTRY' ENTERED AT 14:51:06 ON 01 JUN 2004

L1 STRUCTURE UPLOADED

L2 1 S L1

L4

L9

L3 11 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 14:51:35 ON 01 JUN 2004 2 S L3

FILE 'REGISTRY' ENTERED AT 15:02:19 ON 01 JUN 2004

L5 STRUCTURE UPLOADED

L6 STRUCTURE UPLOADED

L7 8 S L6

L8 76 S L6 SSS FULL

FILE 'CAPLUS' ENTERED AT 15:03:10 ON 01 JUN 2004 S L6

FILE 'REGISTRY' ENTERED AT 15:03:14 ON 01 JUN 2004 8 S L6

FILE 'CAPLUS' ENTERED AT 15:03:14 ON 01 JUN 2004 L10 4 S L9

=> s 18 sss full L11 7 L8

=> FIL REGISTRY

COST IN U.S. DOLLARS

SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE TOTAL

CA SUBSCRIBER PRICE ENTRY SESSION 0.00 -1.39

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STRUCTURE FILE UPDATES: 31 MAY 2004 HIGHEST RN 688001-12-9 DICTIONARY FILE UPDATES: 31 MAY 2004 HIGHEST RN 688001-12-9

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

=> d 15 L5 HAS NO ANSWERS L5 STR

Structure attributes must be viewed using STN Express query preparation.

=> d 16 L6 HAS NO ANSWERS L6 STR

Structure attributes must be viewed using STN Express query preparation.

=> FIL CAPLUS		•
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	0.42	331.04
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	0.00	-1.39

FILE 'CAPLUS' ENTERED AT 15:05:22 ON 01 JUN 2004 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

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Page 18 15:08 <golam shameem>

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FILE COVERS 1907 - 1 Jun 2004 VOL 140 ISS 23 FILE LAST UPDATED: 31 May 2004 (20040531/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d his

(FILE 'HOME' ENTERED AT 14:50:54 ON 01 JUN 2004)

FILE 'REGISTRY' ENTERED AT 14:51:06 ON 01 JUN 2004

L1 STRUCTURE UPLOADED

L2 1 S L1

L3 11 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 14:51:35 ON 01 JUN 2004

L4 2 S L3

FILE 'REGISTRY' ENTERED AT 15:02:19 ON 01 JUN 2004

L5 STRUCTURE UPLOADED

L6 STRUCTURE UPLOADED

L7 8 S L6

L8 76 S L6 SSS FULL

FILE 'CAPLUS' ENTERED AT 15:03:10 ON 01 JUN 2004 S L6

FILE 'REGISTRY' ENTERED AT 15:03:14 ON 01 JUN 2004

FILE 'CAPLUS' ENTERED AT 15:03:14 ON 01 JUN 2004

L10 4 S L9

L11 7 S L8 SSS FULL

FILE 'REGISTRY' ENTERED AT 15:04:48 ON 01 JUN 2004

FILE 'CAPLUS' ENTERED AT 15:05:22 ON 01 JUN 2004

=> d l10 ibib abs hitstr tot

L10 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2001:851793 CAPLUS

DOCUMENT NUMBER:

136:5986

TITLE: INVENTOR(S):

L9

Preparation of azole inhibitors of cytokine production

Bamaung, Nwe Y.; Basha, Anwer; Djuric, Stevan W.; Gubbins, Earl J.; Luly, Jay R.; Tu, Noah P.; Madar,

David J.; Warrior, Usha; Wiedeman, Paul E.; Zhou, Xun;

Sciotti, Richard J.; Wagenaar, Frank L.

PATENT ASSIGNEE(S):

USA

SOURCE:

U.S. Pat. Appl. Publ., 124 pp.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

: 1

PATENT INFORMATION:

06/01/2004

PATENT NO. KIND DATE APPLICATION NO. DATE _____ ----US 2001044445 Α1 20011122 US 1999-289155 19990408 PRIORITY APPLN. INFO.: US 1999-289155 19990408 OTHER SOURCE(S): MARPAT 136:5986 GΙ

AB The title compds. [I; R1, R3 = H, aryl, perfluoroalkyl, etc.; Z = N, C; R2 is absent or = H, alkyl, cycloalkyl, etc.; Q = (hetero)aryl (when Q = Ph, the Ph is 2-, 3-, or 4-substituted by E relative to the position of attachment of the pyrazole or 1,2,4-triazole ring to the Ph ring); R4, R5 = H, alkyl, haloalkyl, etc.; E = NO2, NH2, etc.], useful for inhibiting cytokine (Interleukin-2, Interleukin-4, or Interleukin-5) production and cellular proliferation in stimulated human T cell lines or human peripheral blood mononuclear cells (biol. data given) and therefore have utility in the treatment of diseases that are prevented by or ameliorated with cytokine inhibitors, were prepared General procedures for preparation of compds. I were described. Thus, the title compound II was prepared IT 245746-11-6P 245746-99-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of azole inhibitors of cytokine production)

RN 245746-11-6 CAPLUS CN 3-Pyridinecarboxamic

3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2-fluoro- (9CI) (CA INDEX NAME)

RN 245746-99-0 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-

yl]phenyl]-5-bromo- (9CI) (CA INDEX NAME)

$$r_3$$
C r_3 r_4 r_5 r_6 r_7 r_7 r_8 r_7 r_8 r_7 r_8 r_8

L10 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:658115 CAPLUS

DOCUMENT NUMBER: 133:238010

TITLE: Preparation of pyrazole derivatives as blockers of

calcium release-activated calcium channel (CRACC)

INVENTOR(S): Kubota, Koichi; Yoshimura, Noriko; Okamoto, Yoshinori;

Yonetoku, Yasuhiro; Naito, Makoto; Ishikawa, Atsushi;

Takeuchi, Makoto

PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 22 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000256358	A2	20000919	JP 1999-62900	19990310
PRIORITY APPLN. INFO.	:	JP	1999-62900	19990310
OTHER SOURCE(S):	MA	RPAT 133:238010		

GI

$$\begin{array}{c|c}
N & & \\
D & & \\
\end{array}$$

$$\begin{array}{c|c}
B & & \\
\end{array}$$

$$X - Y - \begin{pmatrix}
A
\end{pmatrix}$$

AB The title compds. (I; ring D = pyrazolyl optionally substituted with 1-3 substituents selected from lower alkyl, alkenyl, alkynyl, or haloalkyl, lower alkylene-cycloalkyl, lower alkylene-O-lower alkyl, cycloalkyl, O-lower alkyl, CO2H, lower alkoxycarbonyl, and halo; ring B = phenylene or optionally lower-substituted bivalent monocyclic aromatic heterocyclic ring; X = NR1CO, CONR1, NR1SO2, SO2NR1; wherein R1 = H, OH, lower alkyl, O-lower alkyl, lower alkyl-carbonyl; Y = bond, CO, lower alkylene, or lower alkenylene; ring A = Ph having at least one substituent selected from HO, O-lower alkyl, and F, or optionally substituent mono-, bi-, or tricyclic condensed heteroaryl; provided that when Y is a bond, ring A represents a group other than heteroaryl selected from thienyl, pyrrolyl, imidazolyl, thiazolyl, oxazolyl, thiadiazolyl, pyridyl, pyrazinyl, and isoquinolyl) and pharmaceutically acceptable salts thereof are prepared These compds. exhibit the inhibitory activity against CRACC and the production of interleukin-2 and are useful for the prevention or treatment of allergies,

inflammations, and autoimmune diseases. Thus, 2,1,3-benzoxadiazole-5-carbonyl chloride and Et3N were successively added to a mixture of 4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]aniline and CH2Cl2 and stirred at room temperature for 8.5 h to give N-[(2,1,3-benzoxadiazol-5-yl)carbonyl]-4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]aniline. Preferred compds. I inhibited thapsigargin-stimulated increase in calcium concentration with IC50

 $\leq\!1$ μM and the production of interleukin-2 with IC50 of $\leq\!0.1$ μM in Jurkat cell.

IT 245746-99-0P 292610-08-3P 292610-93-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazole derivs. as blockers of calcium release-activated calcium channel and inhibitors of interleukin-2 production)

RN 245746-99-0 CAPLUS

of

CN

3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-5-bromo-(9CI) (CA INDEX NAME)

RN 292610-08-3 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-6-(4-morpholinyl)- (9CI) (CA INDEX NAME)

RN 292610-93-6 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-4-methyl- (9CI) (CA INDEX NAME)

$$F_3C$$
 N
 Me
 NH
 CF_3

```
L10 ANSWER 3 OF 4
                      CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:
                           1999:784082 CAPLUS
DOCUMENT NUMBER:
                           132:22963
TITLE:
                           Preparation of N-(pyrazolylphenyl)alkanamides and
                           analogs as IL-2 production inhibitors
INVENTOR (S):
                           Betageri, Rajashekhar; Cywin, Charles L.; Hargrave,
                           Karl; Hoermmann, Mary Ann; Kirrane, Thomas M.; Parks, Thomas M.; Patel, Usha R.; Proudfoot, John R.; Sharma, Rajiv; Sun, Sanxing; Wang, Xiao-Jun
PATENT ASSIGNEE(S):
                           Boehringer Ingelheim Pharmaceuticals, Inc., USA
SOURCE:
                           PCT Int. Appl., 130 pp.
                           CODEN: PIXXD2
DOCUMENT TYPE:
                           Patent
LANGUAGE:
                           English
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
     PATENT NO.
                        KIND
                              DATE
                                               APPLICATION NO.
                       ----
                              _____
                                               -----
                                                                 -----
     WO 9962885
                        A1
                              19991209
                                              WO 1999-US12295 19990603
         W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
              DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO,
              NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA,
              UG, UZ, VN, YU, ZW
     CA 2332957
                         AΑ
                              19991209
                                              CA 1999-2332957
                                                                 19990603
     AU 9942299
                         A1
                              19991220
                                              AU 1999-42299
                                                                 19990603
     JP 2002516909
                         T2
                              20020611
                                              JP 2000-552097
                                                                 19990603
                                              US 1999-324933
     ÚS 6506747
                         B1
                              20030114
                                                                 19990603
PRIORITY APPLN. INFO.:
                                           US 1998-88154P P
                                                                 19980605
                                           WO 1999-US12295 W
                                                                 19990603
OTHER SOURCE(S):
                           MARPAT 132:22963
GI
  R^3
AΒ
     Title compds. [I; R = R4Z1Z; R1,R3 = halo, CF3, alkyl, alkoxy, etc.; R2 =
     H, halo, Me; R4 = (cyclo)alkyl, alkoxy, alkylamino, etc.; Z =
     1,4-phenylene; Z1 = CONH, CO2NH, NH, etc.] were prepared Thus, I [R =
     4-(R5HN)C6H4, R1 = R3 = CF3, R2 = H](II; R5 = H) was amidated by
     cyclohexanecarboxylic acid to give II (R5 = cyclohexylcarbonyl). Data for
     biol. activity of I were given.
TT
     251655-88-6P 251656-27-6P 251656-65-2P
     251657-74-6P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
```

(preparation of 1-(4-aminophenyl)pyrazoles and their use as

3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-

anti-inflammatory agents)

251655-88-6 CAPLUS

RN

CN

Page 23 15:08 <golam shameem>

06/01/2004

yl]phenyl]-2,6-dimethoxy- (9CI) (CA INDEX NAME)

RN 251656-27-6 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3-(tetrahydro-2-furanyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 251656-65-2 CAPLUS

CN 3-Pyridinecarboxamide, 2-methoxy-N-[4-[3-(3-pyridinyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 251657-74-6 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3-(2-naphthalenyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)

Page 24 15:08 <golam shameem>

06/01/2004

REFERENCE COUNT:

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1999:659365 CAPLUS

DOCUMENT NUMBER:

131:271873

TITLE:

Preparation of pyrazoles and triazoles as inhibitors

of cytokine production

INVENTOR(S):

Ba Maung, Nwe Y.; Basha, Anwer; Djuric, Stevan W.; Gubbins, Earl J.; Luly, Jay R.; Tu, Noah P.; Madar, David J.; Warrior, Usha; Wiedeman, Paul E.; Zhou, Xun;

Wagenaar, Frank L.; Sciotti, Richard J.

PATENT ASSIGNEE(S): SOURCE:

Abbott Laboratories, USA PCT Int. Appl., 319 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.				KI				APPLICATION NO. DATE											
	WO	9951	580		 A										 1999	0408			
		W:	ΑE,	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,	
			DE,	DK,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	
			JP,	ΚE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,	
	•		MN,	MW,	MX,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	ŞL,	ТJ,	
			TM,	TR,	TT,	UA,	UG,	UZ,	VN,	YU,	ZA,	ZW,	AM,	ΑZ,	BY,	KG,	KZ,	MD,	
			RU,	ТJ,	\mathbf{TM}														
		RW:	GH,	GM,	KE,	LS,	MW,	SD,	SL,	SZ,	UG,	ZW,	AT,	BE,	CH,	CY,	DE,	DK,	
			ES,	FΙ,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	
			CI,	CM,	GA,	GN,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG						
	CA	2327	185		A	A	1999	1014		C	A 19	99-2	3271	35	1999	0408			
	ΑU	9933	879		A	1	1999	1025		Αì	J 19	99-3	3879		1999	0408			
	EP	1068	187		A.	1 :	2001	0117		E	P 19	99-9:	1534	1	1999	0408			
		R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	PT,	ΙE,	FI
		2002																	
PRIOR	RITY	Z APP	LN.	INFO	.:					US 1:	998-	5699	б	Α	1998	0408			
		·							,	WO 1:	999-1	JS77	66	W	1999	0408			
OTHER	2 50	TIRCE	(s).			MAR	DAT :	121.1	2718	73									

OTHER SOURCE(S):

MARPAT 131:271873

GI

AB Title compds. [I; R1 = H, NH2, OCONH2, CN, NO2, OH, CO2H, F, Cl, Br, I, aryl, perfluoroalkyl, hetercyclyloxy, hetercyclylsulfonyl; R2 = H, alkyl cycloalkyl, alkylcarbonyl, heterocyclyl; R3 = H, NH2, OCONH2, CN, NO2, OH, CO2H, F, Cl, Br, I, aryl, perfluoroalkyl, hetercyclyloxy, hetercyclylsulfonyl; R4 and R5 are independently selected from H, alkyl, alkoxy, halo, perfluoroalkyl, CN, heterocycle; E = LB; B = alkyl, alkenyl, alkynyl; L = N:N, N:CH, CH:N, ON:CH, O, CO, NH, NHCO, NHSO2, NHCH2, alkenylene; Q = benzene ring with 2, 3, or 4 substituted E, heterocycle; Z = C; R2Z = N], E, Z isomers, stereoisomers, pharmaceutical acceptable salts, and prodrugs are prepared and tested as cytokine production inhibitors and are useful for treating diseases that are prevented by or ameliorated with Interleukin-2, Interleukin-4, or Interleukin-5 production inhibitors. Thus, the title compound II was prepared

IT 245746-11-6P 245746-99-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of pyrazoles and triazoles as inhibitors of cytokine production)

ΙI

RN 245746-11-6 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2-fluoro- (9CI) (CA INDEX NAME)

RN 245746-99-0 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-5-bromo- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d l11 ibib abs hitstr tot

L11 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2004:203827 CAPLUS

DOCUMENT NUMBER:

140:259189

TITLE:

Novel crystals

INVENTOR (S):

Kubota, Hirokazu; Iwaoka, Kiyoshi; Yamaguchi, Sou;

APPLICATION NO. DATE

Yokota, Masaki

PATENT ASSIGNEE(S):

Yamanouchi Pharmaceutical Co., Ltd., Japan

SOURCE:

PCT Int. Appl., 16 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

KIND DATE

FAMILY ACC. NUM. COUNT:

(Preparation); USES (Uses)

669769-47-5 CAPLUS

pyrazol-1-yl]nicotinanilide)

yl]phenyl]-4,6-dimethyl- (9CI) (CA INDEX NAME)

PATENT INFORMATION:

PATENT NO.

```
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     WO 2004020433
                      A1
                           20040311
                                          WO 2003-JP10769 20030826
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
            CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
            GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS,
            LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG,
            PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR,
            TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG,
            KZ, MD, RU, TJ
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
            CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC,
            NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO,
            GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.:
                                       JP 2002-246341 A 20020827
    Crystals of 4,6-dimethyl-4'-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-
    yl]nicotinanilide having an excellent calcium release-dependent calcium
    channel inhibitory effect and an excellent IL-2 production inhibitory activity
    are obtained. It is found out that this compound occurs in two crystal
    polymorphisms both of which are appropriate as starting materials for
    producing medicinal compns.
TT
    669769-47-5P
    RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic
    preparation); THU (Therapeutic use); BIOL (Biological study); PREP
```

(preparation of crystals of 4,6-dimethyl-4'-[3,5-bis(trifluoromethyl)-1H-

3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-

RN

CN

prontal

REFERENCE COUNT:

12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2003:22869 CAPLUS

DOCUMENT NUMBER:

138:89806

TITLE:

Preparation of arylpyrazoles as soluble epoxide hydrolase inhibitors for treatment of cardiovascular

disease."

INVENTOR(S):
PATENT ASSIGNEE(S)

Ingraham, Richard H.; Proudfoot, John R.

Boehringer Ingelherm Pharmaceuticals, Inc., USA

PCT-Int. Appl., 44 pp.

DOCUMENT TYPE:

CODEN: PIXXD2

LANGUAGE:

SOURCE:

Patent English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.					KIND DATE													
														٠				
WO	2003	0025	55	A:	1	2003	0109		W	0 20	02-U	S187	52	20020	0614			
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		CO,	CR,	CU,	.CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	
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	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑT,	BE,	CH,	
		CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,	
		BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG	
US	2003	0229	29	A	1	2003	0130		U	S 20	02-1	7245	7	2002	0614			
EP	1406	892		A	1	2004	0414		E	P 20	02-7	3987	0	2002	0614			
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,	
	•					FI,												
US	2004	0925	67	A	1	2004	0513		Ü	S 20	03-6	7066	В	2003	0925			
PRIORIT	Y APP	LN.	INFO	. :					US 2	001-	3020	66P	P	2001	0629			
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								,	WO 2	002-	US18	752	W	2002	0614			
סמטיים כ	OTTOCE	(c).			MΛD	ייי עכו	120.	0000	6									

OTHER SOURCE(S):

MARPAT 138:89806

$$R^2$$
 N
 LR^4
 R^8
 I

AB A method of treating cardiovascular disease comprises administration of title compds. [I; R1, R3 = CF3, halo, cyano, alkyl, alkenyl, alkynyl, (substituted) cycloalkyl, heterocyclyl, etc.; R2 = H, halo, Me; L = NHCO, NHCS, NH, NHCH2, NHCOCO, etc.; R4 = (substituted) alkyl, alkoxy, alkylthio, alkylamino, alkoxyalkyl, alkylthioalkyl, carbocyclyl, heterocyclyl, etc.; R8 = H, NH2] (no data). Thus, 2-chloronicotinic acid in MeCN was treated with EDC and then with 1-(4-aminophenyl)-3-(3-pyridyl)-5-trifluoromethylpyrazole under ice cooling followed by stirring for 1 h to give I (R1 = 3-pyridyl; R2, R8 = H; R3 = CF3; L = NHCO; R4 = 2-chloropyridin-3-yl).

IT 251656-41-4P 251656-54-9P 251656-61-8P 251656-70-9P 251656-71-0P 483342-21-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of arylpyrazoles as soluble epoxide hydrolase inhibitors for treatment of cardiovascular disease)

RN 251656-41-4 CAPLUS

CN 3-Pyridinecarboxamide, 2-chloro-N-[4-[3-(3-pyridinyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 251656-54-9 CAPLUS

CN 3-Pyridinecarboxamide, 2-(methylthio)-N-[4-[3-(3-pyridinyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)

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06/01/2004

RN 251656-61-8 CAPLUS

CN 3-Pyridinecarboxamide, 2-(methylsulfinyl)-N-[4-[3-(3-pyridinyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 251656-70-9 CAPLUS

CN 3-Pyridinecarboxamide, 2-[(5-hydroxypentyl)amino]-N-[4-[3-(3-pyridinyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 251656-71-0 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[2-(4-morpholinyl)ethyl]amino]-N-[4-[3-(3-pyridinyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 483342-21-8 CAPLUS

CN 3-Pyridinecarboxamide, 2-[(phenylmethyl)amino]-N-[4-[3-(3-pyridinyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:851793 CAPLUS

DOCUMENT NUMBER: 136:5986

TITLE: Preparation of azole inhibitors of cytokine production

INVENTOR(S):

Bamaung, Nwe Y.; Basha, Anwer; Djuric, Stevan W.;
Gubbins, Earl J.; Luly, Jay R.; Tu, Noah P.; Madar,

David J.; Warrior, Usha; Wiedeman, Paul E.; Zhou, Xun;

Sciotti, Richard J.; Wagenaar, Frank L.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 124 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE		APPLICATION NO.	DATE
					
US 2001044445	A1	20011122		US 1999-289155	19990408
PRIORITY APPLN. INFO.	:		US	1999-289155	19990408
OTHER SOURCE(S):	MA	RPAT 136:59	86		
GI					

$$\begin{array}{c|c}
R^{3} \\
R^{2} \\
Z \\
N \\
N \\
R^{5}
\end{array}$$

$$rac{CF_3}{N}$$
 $N \rightarrow NH-CO$

AB The title compds. [I; R1, R3 = H, aryl, perfluoroalkyl, etc.; Z = N, C; R2 is absent or = H, alkyl, cycloalkyl, etc.; Q = (hetero)aryl (when Q = Ph, Ph.)

the Ph is 2-, 3-, or 4-substituted by E relative to the position of attachment of the pyrazole or 1,2,4-triazole ring to the Ph ring); R4, R5 = H, alkyl, haloalkyl, etc.; E = NO2, NH2, etc.], useful for inhibiting cytokine (Interleukin-2, Interleukin-4, or Interleukin-5) production and cellular proliferation in stimulated human T cell lines or human peripheral blood mononuclear cells (biol. data given) and therefore have utility in the treatment of diseases that are prevented by or ameliorated with cytokine inhibitors, were prepared General procedures for preparation of compds. I were described. Thus, the title compound II was prepared 223499-45-4P, N-[4-[3,5-Bis(trifluoromethyl)-1H-pyrazol-1-

yl]phenyl]-3-pyridinecarboxamide 245745-96-4P

245745-97-5P 245745-98-6P 245746-11-6P

245746-93-4P 245746-99-0P 245747-12-0P 245747-14-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of azole inhibitors of cytokine production)

RN 223499-45-4 CAPLUS CN 3-Pyridinecarboxamic

3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 245745-96-4 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2,6-dichloro- (9CI) (CA INDEX NAME)

$$r_3$$
C r_3 r_4 r_5 r_6 r_7 r_8 r_8

RN 245.745-97-5 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2-chloro- (9CI) (CA INDEX NAME)

RN 245745-98-6 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2-chloro-6-methyl- (9CI) (CA INDEX NAME)

$$_{\text{CF}_3}^{\text{N}}$$

245746-11-6 CAPLUS

RN

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2-fluoro- (9CI) (CA INDEX NAME)

RN 245746-93-4 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-6-chloro- (9CI) (CA INDEX NAME)

RN 245746-99-0 CAPLUS

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3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-CN yl]phenyl]-5-bromo- (9CI) (CA INDEX NAME)

RN245747-12-0 CAPLUS

3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-CNyl]phenyl]-5,6-dichloro- (9CI) (CA INDEX NAME)

RN245747-14-2 CAPLUS

CN3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1yl]phenyl]-2,5-dichloro- (9CI) (CA INDEX NAME)

$$F_3C$$

$$NH-C$$

$$CF_3$$

$$CT$$

$$NH-C$$

$$C1$$

L11 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2000:658115 CAPLUS

DOCUMENT NUMBER:

133:238010

TITLE:

Preparation of pyrazole derivatives as blockers of calcium release-activated calcium channel (CRACC)

INVENTOR (S):

Kubota, Koichi; Yoshimura, Noriko; Okamoto, Yoshinori; Yonetoku, Yasuhiro; Naito, Makoto; Ishikawa, Atsushi;

Takeuchi, Makoto

PATENT ASSIGNEE(S):

Yamanouchi Pharmaceutical Co., Ltd., Japan

Jpn. Kokai Tokkyo Koho, 22 pp.

CODEN: JKXXAF

DOCUMENT TYPE:

Patent

LANGUAGE:

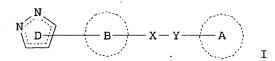
SOURCE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000256358 PRIORITY APPLN. INFO.	A2	20000919 JP	JP 1999-62900 1999-62900	19990310 19990310
OTHER SOURCE(S):	MA	RPAT 133:238010		



The title compds. (I; ring D = pyrazolyl optionally substituted with 1-3 AB substituents selected from lower alkyl, alkenyl, alkynyl, or haloalkyl, lower alkylene-cycloalkyl, lower alkylene-O-lower alkyl, cycloalkyl, O-lower alkyl, CO2H, lower alkoxycarbonyl, and halo; ring B = phenylene or optionally lower-substituted bivalent monocyclic aromatic heterocyclic ring; X = NR1CO, CONR1, NR1SO2, SO2NR1; wherein R1 = H, OH, lower alkyl, O-lower alkyl, lower alkyl-carbonyl; Y = bond, CO, lower alkylene, or lower alkenylene; ring A = Ph having at least one substituent selected from HO, O-lower alkyl, and F, or optionally substituent mono-, bi-, or tricyclic condensed heteroaryl; provided that when Y is a bond, ring A represents a group other than heteroaryl selected from thienyl, pyrrolyl, imidazolyl, thiazolyl, oxazolyl, thiadiazolyl, pyridyl, pyrazinyl, and isoquinolyl) and pharmaceutically acceptable salts thereof are prepared These compds. exhibit the inhibitory activity against CRACC and the production of interleukin-2 and are useful for the prevention or treatment of allergies, inflammations, and autoimmune diseases. Thus, 2,1,3-benzoxadiazole-5carbonyl chloride and Et3N were successively added to a mixture of 4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]aniline and CH2Cl2 and stirred at room temperature for 8.5 h to give N-[(2,1,3-benzoxadiazol-5-yl)carbonyl]-4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]aniline. Preferred compds. I inhibited thapsigargin-stimulated increase in calcium concentration with IC50

 $\leq\!1$ μM and the production of interleukin-2 with IC50 of $\leq\!0.1$ μM in Jurkat cell.

IT 245745-97-5P 245745-98-6P 245746-93-4P 245746-99-0P 292610-08-3P 292610-12-9P 292610-14-1P 292610-18-5P 292610-32-3P 292610-48-1P 292610-52-7P 292610-56-1P 292610-63-0P 292610-64-1P 292610-65-2P 292610-66-3P 292610-67-4P 292610-68-5P 292610-69-6P 292610-93-6P 292610-94-7P 292610-95-8P 292610-96-9P 292610-97-0P 292610-98-1P 292611-02-0P 292611-03-1P 292611-04-2P 292611-05-3P 292611-11-1P 292611-12-2P 292611-13-3P 292611-14-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazole derivs. as blockers of calcium release-activated calcium channel and inhibitors of interleukin-2 production)

RN 245745-97-5 CAPLUS

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06/01/2004

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2-chloro- (9CI) (CA INDEX NAME)

RN 245745-98-6 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2-chloro-6-methyl- (9CI) (CA INDEX NAME)

RN 245746-93-4 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-6-chloro- (9CI) (CA INDEX NAME)

$$r_3$$
C r_3 r_4 r_5 r_6 r_7 r_7 r_8 r_8

RN 245746-99-0 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-5-bromo- (9CI) (CA INDEX NAME)

RN 292610-08-3 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-6-(4-morpholinyl)- (9CI) (CA INDEX NAME)

RN 292610-12-9 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-1,2-dihydro-2-thioxo- (9CI) (CA INDEX NAME)

RN 292610-14-1 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2-(methylthio)- (9CI) (CA INDEX NAME)

RN 292610-18-5 CAPLUS

CN 3-Pyridinecarboxamide; N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2-methyl- (9CI) (CA INDEX NAME)

RN 292610-32-3 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-1,2-dihydro-2-oxo- (9CI) (CA INDEX NAME)

RN 292610-48-1 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2-phenoxy- (9CI) (CA INDEX NAME).

RN 292610-52-7 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-5-hydroxy- (9CI) (CA INDEX NAME)

$$_{\text{CF}_3}^{\text{N}}$$

RN 292610-56-1 CAPLUS

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06/01/2004

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-6-(1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)

RN 292610-63-0 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2-[methyl(1-methyl-4-piperidinyl)amino]- (9CI) (CA INDEX NAME)

RN 292610-64-1 CAPLUS

CN [1(2H),2'-Bipyridine]-3'-carboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-3,6-dihydro- (9CI) (CA INDEX NAME)

RN 292610-65-2 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-6-(butylmethylamino)- (9CI) (CA INDEX NAME)

RN 292610-66-3 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2-(4-thiomorpholinyl)- (9CI) (CA INDEX NAME)

RN 292610-67-4 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-6-[[2-(diethylamino)ethyl]ethylamino]- (9CI) (CA INDEX NAME)

RN 292610-68-5 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-6-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)

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06/01/2004

RN 292610-69-6 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-6-(1-piperidinyl)- (9CI) (CA INDEX NAME)

RN 292610-74-3 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-6-(methylthio)- (9CI) (CA INDEX NAME)

$$F_3C$$
 $NH-C$
 $NH-C$

RN 292610-93-6 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-4-methyl- (9CI) (CA INDEX NAME)

$$_{\text{NH}-\text{C}}^{\text{N}}$$

RN 292610-94-7 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2-(4-morpholinyl)- (9CI) (CA INDEX NAME)

RN 292610-95-8 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-6-(diethylamino)- (9CI) (CA INDEX NAME)

$$_{\text{CF}_3}^{\text{N}}$$

RN 292610-96-9 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2-(butylethylamino)- (9CI) (CA INDEX NAME)

RN 292610-97-0 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)

RN 292610-98-1 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2-(3,4-dihydro-2(1H)-isoquinolinyl)- (9CI) (CA INDEX NAME)

RN 292611-02-0 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2-(diethylamino)- (9CI) (CA INDEX NAME)

RN 292611-03-1 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2-[[2-(diethylamino)ethyl]ethylamino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & \text{Et} \\ & &$$

RN 292611-04-2 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2-(1-piperidinyl)- (9CI) (CA INDEX NAME)

RN 292611-05-3 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2-(4-methyl-1-piperidinyl)- (9CI) (CA INDEX NAME)

RN 292611-06-4 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)- (9CI) (CA INDEX NAME)

RN 292611-09-7 CAPLUS

CN [1(2H),2'-Bipyridine]-5'-carboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-3,6-dihydro- (9CI) (CA INDEX NAME)

RN 292611-10-0 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-6-(3,4-dihydro-2(1H)-isoquinolinyl)- (9CI) (CA INDEX NAME)

RN 292611-11-1 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-6-[methyl(1-methyl-4-piperidinyl)amino]- (9CI) (CA INDEX NAME)

$$F_3C$$
 $NH-C$
 $NH-C$

RN 292611-12-2 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-6-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)- (9CI) (CA INDEX NAME)

RN 292611-13-3 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-6-(4-thiomorpholinyl)- (9CI) (CA INDEX NAME)

RN 292611-14-4 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-6-(4-methyl-1-piperidinyl)- (9CI) (CA INDEX NAME)

ACCESSION NUMBER:

DOCUMENT NUMBER:

INVENTOR (S):

TITLE:

L11 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN

132:22963

1999:784082 CAPLUS

analogs as IL-2 production inhibitors

Preparation of N-(pyrazolylphenyl)alkanamides and

Betageri, Rajashekhar; Cywin, Charles L.; Hargrave,

Karl; Hoernmann, Mary Ann; Kirrane, Thomas M.; Parks, Thomas M.; Patel, Usha R, Proudfoot, John R, Sharma, Rajiv; Sun, Sanxing; Wang, Xiao-Jun PATENT ASSIGNEE(S): Boehringer Ingelheim Pharmaceuticals, Inc., USA SOURCE: PCT Int. Appl., 130 pp. CODEN: PIXXD2 DOCUMENT TYPE: Patent LANGUAGE: English FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. ____ WO 9962885 A1 19991209 WO 1999-US12295 19990603 W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW CA 2332957 AΑ 19991209 CA 1999-2332957 19990603 AU 9942299 Α1 19991220 AU 1999-42299 19990603 JP 2002516909 T2 JP 2000-552097 20020611 19990603 US 6506747 B1 US 1999-324933 20030114 19990603 PRIORITY APPLN. INFO.: US 1998-88154P P 19980605 WO 1999-US12295 W 19990603 OTHER SOURCE(S): MARPAT 132:22963 GI Title compds. [I; R = R4Z1Z; R1,R3 = halo, CF3, alkyl, alkoxy, etc.; R2 = H, halo, Me; R4 = (cyclo)alkyl, alkoxy, alkylamino, etc.; Z = 1,4-phenylene; Z1 = CONH, CO2NH, NH, etc.] were prepared Thus, I [R = 4 - (R5HN)C6H4, R1 = R3 = CF3, R2 = H] (II; R5 = H) was amidated by cyclohexanecarboxylic acid to give II (R5 = cyclohexylcarbonyl). Data for biol. activity of I were given. 223499-45-4P 245745-97-5P 245746-93-4P 251655-88-6P 251655-92-2P 251655-95-5P 251656-20-9P 251656-25-4P 251656-27-6P 251656-33-4P 251656-35-6P 251656-38-9P 251656-39-0P 251656-41-4P 251656-54-9P 251656-60-7P 251656-61-8P 251656-65-2P 251656-67-4P 251656-68-5P 251656-70-9P

251656-71-0P 251656-74-3P 251656-78-7P 251656-80-1P 251656-81-2P 251656-82-3P 251656-84-5P 251656-89-0P 251657-19-9P

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251657-20-2P 251657-21-3P 251657-24-6P 251657-68-8P 251657-74-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 1-(4-aminophenyl)pyrazoles and their use as anti-inflammatory agents)

RN 223499-45-4 CAPLUS

CN

3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 245745-97-5 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2-chloro- (9CI) (CA INDEX NAME)

RN 245746-93-4 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-6-chloro- (9CI) (CA INDEX NAME)

$$r_3$$
C r_3 r_4 r_5 r_6 r_7 r_7 r_7 r_8 r_8

RN 251655-88-6 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2,6-dimethoxy- (9CI) (CA INDEX NAME)

$$_{\rm CF_3}^{\rm N}$$
 OMe OMe

RN 251655-92-2 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-, 1-oxide (9CI) (CA INDEX NAME)

$$_{\text{CF}_3}^{\text{N}}$$

RN 251655-95-5 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-5-bromo-2-chloro- (9CI) (CA INDEX NAME)

$$r_3$$
C r_3 r_4 r_5 r_6 r_7 r_7 r_8 r_7 r_8 r_8

RN 251656-20-9 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3-(2-furanyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 251656-25-4 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3-ethyl-5-(trifluoromethyl)-1H-pyrazol-1-

Page 49 15:08 <golam shameem>

06/01/2004

yl]phenyl] - (9CI) (CA INDEX NAME)

RN 251656-27-6 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3-(tetrahydro-2-furanyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 251656-33-4 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3-(3-pyridinyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 251656-35-6 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3-(2-thiazolyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 251656-38-9 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3-ethoxy-5-(trifluoromethyl)-1H-pyrazol-1-

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06/01/2004

yl]phenyl] - (9CI) (CA INDEX NAME)

RN 251656-39-0 CAPLUS

CN 3-Pyridinecarboxamide, 5-bromo-N-[4-[3-(3-pyridinyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 251656-41-4 CAPLUS

CN 3-Pyridinecarboxamide, 2-chloro-N-[4-[3-(3-pyridinyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 251656-54-9 CAPLUS

CN 3-Pyridinecarboxamide, 2-(methylthio)-N-[4-[3-(3-pyridinyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)

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RN 251656-60-7 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3-(methoxymethyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{MeO-CH}_2 & \text{N} & \text{NH-C-N} \\ \hline \\ \text{CF}_3 & \\ \end{array}$$

RN 251656-61-8 CAPLUS

CN 3-Pyridinecarboxamide, 2-(methylsulfinyl)-N-[4-[3-(3-pyridinyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 251656-65-2 CAPLUS

CN 3-Pyridinecarboxamide, 2-methoxy-N-[4-[3-(3-pyridinyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 251656-67-4 CAPLUS

CN 3-Pyridinecarboxamide, 2-[(4-pyridinylmethyl)amino]-N-[4-[3-(3-pyridinyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 251656-68-5 CAPLUS

CN 3-Pyridinecarboxamide, 2-(dimethylamino)-N-[4-[3-(3-pyridinyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 251656-70-9 CAPLUS

CN 3-Pyridinecarboxamide, 2-[(5-hydroxypentyl)amino]-N-[4-[3-(3-pyridinyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 251656-71-0 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[2-(4-morpholinyl)ethyl]amino]-N-[4-[3-(3-pyridinyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 251656-74-3 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3-propyl-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 251656-78-7 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3-[5-(3-pyridinyl)-2-furanyl]-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 251656-80-1 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3-[5-(4-pyridinyl)-2-furanyl]-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)

Page 54 15:08 <golam shameem>

RN 251656-81-2 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3-[5-(5-pyrimidinyl)-2-furanyl]-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 251656-82-3 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3-(5-bromo-2-furanyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 251656-84-5 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3-(2-pyridinyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 251656-89-0 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3-(4-pyridinyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 251657-19-9 CAPLUS

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CN 3-Pyridinecarboxamide, N-[4-[3-[5-[2-[4-(dimethylamino)phenyl]ethenyl]-2-furanyl]-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 251657-20-2 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3-[5-[2-(4-pyridinyl)ethenyl]-2-furanyl]-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 251657-21-3 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3-[5-[2-(4-methyl-5-thiazolyl)ethenyl]-2-furanyl]-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 251657-24-6 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3-cyclopropyl-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)

251657-68-8 CAPLUS RN

3-Pyridinecarboxamide, N-[4-[3-cyclopentyl-5-(trifluoromethyl)-1H-pyrazol-CN 1-yl]phenyl] - (9CI) (CA INDEX NAME)

RN251657-74-6 CAPLUS

3-Pyridinecarboxamide, N-[4-[3-(2-naphthalenyl)-5-(trifluoromethyl)-1H-CNpyrazol-1-yl]phenyl]- .(9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN

6

ACCESSION NUMBER:

1999:659365 CAPLUS

DOCUMENT NUMBER:

131:271873

TITLE:

Preparation of pyrazoles and triazoles as inhibitors

of cytokine production

INVENTOR(S):

Ba Maung, Nwe Y.; Basha, Anwer; Djuric, Stevan W.; Gubbins, Earl J.; Luly, Jay R.; Tu, Noah P.; Madar, David J.; Warrior, Usha; Wiedeman, Paul E.; Zhou, Xun;

Wagenaar, Frank L.; Sciotti, Richard J.

PATENT ASSIGNEE(S):

Abbott Laboratories, USA

SOURCE:

PCT Int. Appl., 319 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA	rent	NO.		KI	ND :	DATE			A	PPLI	CATI	ON NO	٥.	DATE			
	- -								-	- -							
WO	9951	580		A	1	1999	1014		W	0 19:	99-U	S776	6	1999	0408		
	W:	ΑE,	ΑL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,
		DE,	DK,	EE,	ES,	FI,	GB,	GD,	GΕ,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,
		JΡ,	KΕ,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,
		MN,	MW,	MX,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,
		TM,	TR,	TT,	UA,	UG,	UΖ,	VN,	YU,	ZA,	ZW,	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,
		RΨ,	TJ,	TM											•		

RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG 19991014 AA CA 1999-2327185 19990408 AU 9933879 **A**1 19991025 AU 1999-33879 19990408 EP 1068187 20010117 Α1 EP 1999-915341 19990408 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI JP 2002510679 20020409 T2JP 2000-542301 19990408 PRIORITY APPLN. INFO.: US 1998-56996 Α 19980408 WO 1999-US7766 W 19990408 OTHER SOURCE(S): MARPAT 131:271873 GI

AB Title compds. [I; R1 = H, NH2, OCONH2, CN, NO2, OH, CO2H, F, Cl, Br, I, aryl, perfluoroalkyl, hetercyclyloxy, hetercyclylsulfonyl; R2 = H, alkyl cycloalkyl, alkylcarbonyl, heterocyclyl; R3 = H, NH2, OCONH2, CN, NO2, OH, CO2H, F, Cl, Br, I, aryl, perfluoroalkyl, hetercyclyloxy, hetercyclylsulfonyl; R4 and R5 are independently selected from H, alkyl, alkoxy, halo, perfluoroalkyl, CN, heterocycle; E = LB; B = alkyl, alkenyl, alkynyl; L = N:N, N:CH, CH:N, ON:CH, O, CO, NH, NHCO, NHSO2, NHCH2, alkenylene; Q = benzene ring with 2, 3, or 4 substituted E, heterocycle; Z = C; R2Z = N], E, Z isomers, stereoisomers, pharmaceutical acceptable salts, and prodrugs are prepared and tested as cytokine production inhibitors and are useful for treating diseases that are prevented by or ameliorated with Interleukin-2, Interleukin-4, or Interleukin-5 production inhibitors. Thus, the title compound II was prepared

IT 223499-45-4P 245745-96-4P 245745-97-5P 245745-98-6P 245746-11-6P 245746-93-4P 245746-99-0P 245747-12-0P 245747-14-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of pyrazoles and triazoles as inhibitors of cytokine production)

RN 223499-45-4 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 245745-96-4 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2,6-dichloro- (9CI) (CA INDEX NAME)

$$r_3$$
C r_3 r_4 r_5 r_6 r_7 r_7

RN 245745-97-5 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2-chloro- (9CI) (CA INDEX NAME)

$$r_3$$
C r_3 r_4 r_5 r_6 r_7 r_7 r_7 r_8 r_8

RN 245745-98-6 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2-chloro-6-methyl- (9CI) (CA INDEX NAME)

$$r_3$$
C r_3 r_4 r_5 r_6 r_6 r_7 r_8 r_8

RN 245746-11-6 CAPLUS

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CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2-fluoro- (9CI) (CA INDEX NAME)

RN 245746-93-4 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-6-chloro- (9CI) (CA INDEX NAME)

RN 245746-99-0 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-5-bromo- (9CI) (CA INDEX NAME)

RN 245747-12-0 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-5,6-dichloro- (9CI) (CA INDEX NAME)

$$r_3$$
C r_3 r_4 r_5 r_6 r_7 r_7

RN245747-14-2 CAPLUS

3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-CNyl]phenyl]-2,5-dichloro- (9CI) (CA INDEX NAME)

$$r_3$$
C r_3 r_4 r_5 r_6 r_7 r_7

REFERENCE COUNT:

10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1999:271338 CAPLUS

DOCUMENT NUMBER:

130:311815

TITLE:

Preparation of pyrazole derivatives as calcium release-dependent calcium channel inhibitors and inhibitors of interleukin-2 (IL-2) production Kubota, Hirokazu; Yonetoku, Yasuhiro; Sugasawa,

INVENTOR(S):

Keizou; Funatsu, Masashi; Kawazoe, Souichirou;

Toyoshima, Akira; Okamoto, Yoshinori; Ishikawa, Jun;

Takeuchi, Makoto

PATENT ASSIGNEE(S):

Yamanouchi Pharmaceutical Co., Ltd., Japan

SOURCE:

PCT Int. Appl., 54 pp. CODEN: PIXXD2

DOCUMENT TYPE:

LANGUAGE:

Patent Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
WO 9919303		WO 1998-JP4583	19981012
		BG, BR, BY, CA, CN, CU	
		IS, JP, KE, KG, KR, KZ	· · · · · · · · · · · · · · · · · · ·
		MW, MX, NO, NZ, PL, RO UA, UG, US, UZ, VN, YU	
	MD, RU, TJ, TM	31, 33, 33, 32, 32, 32, 12	, 12, 12, 12,
		SZ, UG, ZW, AT, BE, CH	
		LU, MC, NL, PT, SE, BF	, BJ, CF, CG, CI,
	GN, GW, ML, MR,	AU 1998-87139	19980929
	B2 20020808	110 1330 07133	13300323
		BR 1998-3883	
		RU 1998-118557	
		CA 1998-2304979 AU 1998-94593	
		EP 1998-947818	
		FR, GB, GR, IT, LI, LU	
		MX 1998-8433	
	B 20020721 A 19990602		
	B 20030507	CN 1730-121334	

JP 11240832 A2 19990907 JP 1998-290734 19981013 US 6348480 NO 2000001907 US 2001011090 В1 20020219 US 2000-529131 20000407 NO Α 20000609 NO 2000-1907 20000412 A1 20010802 US 2001-773736 20010202 PRIORITY APPLN. INFO.: JP 1997-279093 Α 19971013 WO 1998-JP4583 W 19981012 US 2000-529131 A3 20000407

OTHER SOURCE(S):

S): MARPAT 130:311815

$$N \longrightarrow CH_2 \longrightarrow KA(I)$$

AB Pyrazole derivs. represented by general formula [I; ring D = pyrazolyl optionally substituted by 1-3 substituents selected from alkyl, lower alkenyl, lower alkynyl, lower haloalkyl, cycloalkylalkyl, alkoxyalkyl, cycloalkyl, alkoxy, CO2H, alkoxycarbonyl, and halo; ring B = phenylene, a nitrogen-containing, divalent, saturated ring group, or an optionally alkylated,

monocyclic, divalent heteroarom. ring group; X = -NR1-CR2R3-, -CR2R3-NR1-, -NR1-SO2-, -SO2-NR1- or -CR4:CR5-; wherein R1 = H, OH, alkyl, alkoxy, alkylcarbonyl; R2, R3 = H or alkyl or R2R3 = O or S; R4, R5 = H, halo, lower haloalkyl; A = (1) Ph optionally having one or more substituents, (2) mono-, di- or tricyclic fused heteroaryl optionally having one or more substituents, (3) cycloalkyl optionally having one or more substituents, (4) a nitrogen-containing, saturated ring group optionally having one or more substituents, (5) lower alkenyl optionally having one or more substituents, (6) lower alkynyl optionally having one or more substituents, or (7) alkyl optionally having one or more substituents; or A and X are combined together to represent 1-pyrrolidinylcarbonyl, pyrazolidinylcarbonyl, piperidinocarbonyl, piperazinylcarbonyl, morpholinocarbonyl, 3,4-2H-1,4-benzoxazin-4-ylcarbonyl, or indolylcarbonyl] are prepared Also claimed are medicinal compns., in particular, calcium release-dependent calcium channel inhibitors, IL-2 production inhibitors, and therapeutics or preventives for allergies, inflammations, or autoimmune diseases, bronchial asthma, or rheumatoid arthritis for containing the above compds. I as the active ingredients. Thus, 4-methylthiazole-5-carboxylic acid was condensed with 4-[3,5bis(trifluoromethyl)-1H-pyrazol-1-yl]aniline using 1-ethyl-3-(3dimethylaminopropyl)carbodiimide hydrochloride in 1,2-dichloroethane at room temperature overnight to give the title compound, 4'-pyrazolylthiazole-5carboxanilide derivative (II). II in vitro showed IC50 of ≤1 µM μg/mL for inhibiting the production of IL-2 in Jurkat cells.

IT 223499-45-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of pyrazole derivs. as calcium release-dependent calcium

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06/01/2004

channel inhibitors and inhibitors of interleukin-2 production for treatment and prevention of diseases)

RN 223499-45-4 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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(FILE 'HOME' ENTERED AT 14:50:54 ON 01 JUN 2004)

8

FILE 'REGISTRY' ENTERED AT 14:51:06 ON 01 JUN 2004

L1 STRUCTURE UPLOADED

L2 1 S L1

L3 11 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 14:51:35 ON 01 JUN 2004 L4 2 S L3

FILE 'REGISTRY' ENTERED AT 15:02:19 ON 01 JUN 2004

L5 STRUCTURE UPLOADED

L6 STRUCTURE UPLOADED

L7 8 S L6

L8 76 S L6 SSS FULL

FILE 'CAPLUS' ENTERED AT 15:03:10 ON 01 JUN 2004 S L6

FILE 'REGISTRY' ENTERED AT 15:03:14 ON 01 JUN 2004 L9 8 S L6

FILE 'CAPLUS' ENTERED AT 15:03:14 ON 01 JUN 2004

L10 4 S L9

L11 7 S L8 SSS FULL

FILE 'REGISTRY' ENTERED AT 15:04:48 ON 01 JUN 2004

FILE 'CAPLUS' ENTERED AT 15:05:22 ON 01 JUN 2004

=> s 111 and thu

137 THU

2158280 THUS

2158402 THU

(THU OR THUS)

L12 6 L11 AND THU

Page 63 15:08 <golam shameem>

06/01/2004

=> s l12 and py<=2001 21548647 PY<=2001

L13

5 L12 AND PY<=2001

=> log y COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 57.71 388.75

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL ENTRY SESSION

CA SUBSCRIBER PRICE -7.62 -9.01

STN INTERNATIONAL LOGOFF AT 15:07:39 ON 01 JUN 2004